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(21) International Application Number: PCT/EP03/00824

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
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MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,  
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European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI,  
SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN,  
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*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: AMINOPIPERIDINE COMPOUNDS, PROCESS FOR THEIR PREPARATION, AND PHARMACEUTICAL COM-  
POSITIONS CONTAINING THEM

(57) Abstract: Aminopiperidine derivatives and pharmaceutically acceptable derivatives thereof useful in methods of treatment of  
bacterial infections in mammals, particularly in man.

WO 03/064431 A3

# PATENT COOPERATION TREATY

## PCT

From the INTERNATIONAL SEARCHING AUTHORITY

To:  
GLAXOSMITHKLINE  
CN925.1  
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UNITED KINGDOM

GlaxoSmithKline  
Corporate IP  
28 MAY 2003  
Received NFSP

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL SEARCH REPORT  
OR THE DECLARATION

(PCT Rule 44.1)

	<p style="font-size: small;">Date of mailing (day/month/year)</p> <p style="font-size: x-small;">GlaxoSmithKline Corporate IP</p> <p style="font-size: small;">26/05/2003</p>	
Applicant's or agent's file reference <b>P32975</b>	<p style="font-size: small;">Received BRENTFORD</p> <p style="font-size: small;">FOR FURTHER ACTION</p>	See paragraphs 1 and 4 below
International application No. <b>PCT/EP 03/00824</b>	<p style="font-size: small;">27 MAY 2003</p>	International filing date (day/month/year) <b>27/01/2003</b>
Applicant <b>GLAXO GROUP LIMITED</b>	<p style="font-size: x-small;">ATTY JBV IPM ON UPDATED ON ATTY CHECKED FILE</p>	

1. ☒ The applicant is hereby notified that the International Search Report has been established and is transmitted herewith.

**Filing of amendments and statement under Article 19:**

The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

**When?** The time limit for filing such amendments is normally 2 months from the date of transmittal of the International Search Report; however, for more details, see the notes on the accompanying sheet.

**Where?** Directly to the International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland  
Facsimile No.: (41-22) 740.14.35

For more detailed instructions, see the notes on the accompanying sheet.

2. ☐ The applicant is hereby notified that no International Search Report will be established and that the declaration under Article 17(2)(a) to that effect is transmitted herewith.

3. ☐ With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

☐ the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.


☐ no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

4. **Further action(s):** The applicant is reminded of the following:

Shortly after **18 months** from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the international application, or of the priority claim, must reach the International Bureau as provided in Rules 90bis.1 and 90bis.3, respectively, before the completion of the technical preparations for international publication.

Within **19 months** from the priority date, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later).

Within **20 months** from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected in the demand or in a later election within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

<p>Name and mailing address of the International Searching Authority</p> <div style="text-align: center;">  </div> <p>European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016</p>	<p>Authorized officer</p> <p style="font-size: large; text-align: center;">Chantal Meyer</p>
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# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>P32975</b>	<b>FOR FURTHER ACTION</b> <small>see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, Item 5 below.</small>	
International application No. <b>PCT/EP 03/00824</b>	International filing date (day/month/year) <b>27/01/2003</b>	(Earliest) Priority Date (day/month/year) <b>29/01/2002</b>
Applicant  <b>GLAXO GROUP LIMITED</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.  
☒ It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the report**

a. With regard to the **language**, the International search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

**AMINOPIPERIDINE COMPOUNDS, PROCESS FOR THEIR PREPARATION, AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM**

5. With regard to the **abstract**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

# INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP/00824

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 C07D513/04 C07D417/14 C07D519/00 A61K31/4365 A61K31/4709  
A61P31/04 //(C07D513/04,285:00,221:00),(C07D519/00,513:00,  
471:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 02 08224 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); JONES GRAHAM ELGI) 31 January 2002 (2002-01-31) claims	1-4,6-8, 10-13
X	WO 01 07432 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); LIGHTFOOT ANDREW) 1 February 2001 (2001-02-01) cited in the application page 8, line 32 -page 9, line 31; claims	1-13
A	WO 01 07433 A (MARKWELL ROGER EDWARD; PEARSON NEIL DAVID (GB); SMITHKLINE BEECHAM) 1 February 2001 (2001-02-01) cited in the application claims	1-13

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*G\* document member of the same patent family

Date of the actual completion of the international search

15 May 2003

Date of mailing of the international search report

26/05/2003

Name and mailing address of the ISA  
European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Hass, C

# INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 00/00824

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00 78748 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); PEARSON NEIL DAVI) 28 December 2000 (2000-12-28) cited in the application claims ---	1-13
A	WO 00 21948 A (HATTON IAN KEITH; PEARSON NEIL DAVID (GB); SMITHKLINE BEECHAM PLC) 20 April 2000 (2000-04-20) cited in the application claims ---	1-13
A	WO 00 43383 A (DAVIES DAVID THOMAS; HENRY CAROLINE JOAN (GB); PEARSON NEIL DAVID) 27 July 2000 (2000-07-27) cited in the application claims ---	1-13
A	WO 00 21952 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); PEARSON NEIL DAVI) 20 April 2000 (2000-04-20) cited in the application claims ---	1-13
A	WO 99 37635 A (COATES WILLIAM JOHN; MASTERS PHILIP JOHN (GB); WARRACK JULIE DOROT) 29 July 1999 (1999-07-29) cited in the application claims ---	1-13
A,P	WO 02 056882 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); JONES GRAHAM ELGI) 25 July 2002 (2002-07-25) claims ---	1-13
A,P	WO 02 24684 A (DAVIES DAVID THOMAS; MARKWELL ROGER EDWARD (GB); PEARSON NEIL DAVI) 28 March 2002 (2002-03-28) claims -----	1-13

# INTERNATIONAL SEARCH REPORT

International application No.  
EP 03/00824

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  

Although claim 10 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP05/00824

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0208224	A	31-01-2002	AU 8974901 A WO 0208224 A1 EP 1305308 A1 NO 20030345 A	05-02-2002 31-01-2002 02-05-2003 10-03-2003
WO 0107432	A	01-02-2001	AU 5986200 A WO 0107432 A2 EP 1214314 A2 JP 2003505455 T	13-02-2001 01-02-2001 19-06-2002 12-02-2003
WO 0107433	A	01-02-2001	AU 6825300 A WO 0107433 A2 EP 1202987 A2 JP 2003505456 T	13-02-2001 01-02-2001 08-05-2002 12-02-2003
WO 0078748	A	28-12-2000	AU 5681800 A WO 0078748 A1 EP 1187828 A1 JP 2003502419 T	09-01-2001 28-12-2000 20-03-2002 21-01-2003
WO 0021948	A	20-04-2000	AU 6114699 A EP 1127057 A1 WO 0021948 A1 JP 2002527431 T	01-05-2000 29-08-2001 20-04-2000 27-08-2002
WO 0043383	A	27-07-2000	AU 2437900 A WO 0043383 A1 EP 1144404 A1 JP 2002535323 T	07-08-2000 27-07-2000 17-10-2001 22-10-2002
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WO 9937635	A	29-07-1999	AU 2717899 A CA 2318842 A1 WO 9937635 A1 EP 1051413 A1 JP 2002501061 T ZA 9900520 A	09-08-1999 29-07-1999 29-07-1999 15-11-2000 15-01-2002 25-07-2000
WO 02056882	A	25-07-2002	WO 02056882 A1	25-07-2002
WO 0224684	A	28-03-2002	AU 1819202 A WO 0224684 A1	02-04-2002 28-03-2002